=> D HIS

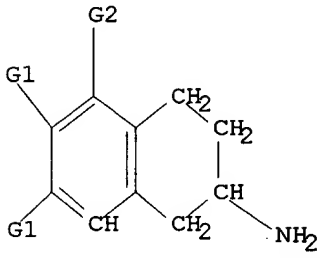
(FILE 'HOME' ENTERED AT 11:55:17 ON 13 JUL 2001)

FILE 'REGISTRY' ENTERED AT 11:55:21 ON 13 JUL 2001
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 67 S L1 CSS FUL

FILE 'CAPLUS' ENTERED AT 11:56:57 ON 13 JUL 2001
L4 353 S L3
L5 149436 S INFLAMM? OR SEPTIC OR ARTHRITIS OR PANCEATITIS OR LUPUS
L6 9555 S GLOMERULONEPHRITIS OR ENCEPHALOMYELITIS
L7 156314 S L6 OR L5
L8 7 S L7 AND L4

=> D L1

L1 HAS NO ANSWERS
L1 STR



G1 Cl,Br,F,I,OH,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO

G2 H,OH,MeO,Cl,Br,F,I

Structure attributes must be viewed using STN Express query preparation.

=> D BIB ABS HITSTR KWIC 1-7

L8 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1999:405112 CAPLUS
DN 131:56155
TI Methods for the simultaneous identification of novel biological targets and lead structures for drug development using combinatorial libraries and probes
IN Heefner, Donald L.; Zepp, Charles M.; Gao, Yun; Jones, Steven W.
PA Sepracor Inc., USA
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE

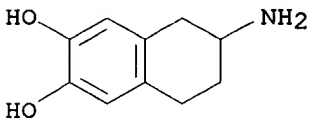
PI	WO 9931267	A1	19990624	WO 1998-US26894	19981218
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9919256	A1	19990705	AU 1999-19256	19981218
	EP 1049796	A1	20001108	EP 1998-964053	19981218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1997-68035	P	19971218		
	WO 1998-US26894	W	19981218		

AB The combinatorial screening assays and detection methods of the present invention encompass highly diversified libraries of compds. which act as fingerprints to allow for the identification of specific mol. differences existing between biol. samples. The combinatorial screening assay and detection methods of the present invention utilize highly diversified libraries of compds. to interrogate and characterize complex mixts. in order to identify specific mol. differences existing between biol. samples, which may serve as targets for diagnosis of development of therapeutics. The invention is base, in part, on the design of sensitive, rapid, homogeneous assay systems that permit the evaluation, interrogation, and characterization of samples using complex, highly diversified libraries of mol. probes. The ability to run the high throughput assays in a homogeneous format increases sensitivity of screening. In addn., the homogeneous format allows the mols. which interact to maintain their native or active conformations. Moreover, the homogeneous assay systems of the invention utilize robust detection systems that do not require sepn. steps for detection of reaction products. The assays of the invention can be used for diagnostics, drug screening and discovery, target-driven discover, and in the field of proteomics and genomics for the identification of disease markers and drug targets.

IT **13575-86-5**
RL: RCT (Reactant)
(identification of novel biol. targets and lead structures for drug development using combinatorial libraries and probes)

RN 13575-86-5 CAPLUS

CN 2,3-Naphthalenediol, 6-amino-5,6,7,8-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RE.CNT 1

RE

(1) Lin; Science 1997, V278, P840 CAPLUS

IT Animal tissue
Autoimmune disease
Biochemical molecules

Blood
Blood analysis
Blood plasma
Blood serum
Body fluid
Cell
Chemiluminescence spectroscopy
Chemiluminescent substances
Chicken (Gallus domesticus)
Combinatorial chemistry
Combinatorial library
Crosslinking
Diabetes mellitus
Diagnosis
Disease, animal
Drug design
Drug screening
Drugs
Epitopes
Erythrocyte
Escherichia coli
Fluorescent dyes
Fluorescent probes
Fluorescent substances
Fluorometry
Heart, disease
Immobilization, biochemical
Infection
Inflammation
Leukocyte
Lymph
Microorganism
Molecules
Neoplasm
Photochemistry
Polarized fluorescence
Radioactive substances
Scintillators
Test kits
Therapy
Toxicity
Urine
Urine analysis
Virus
(identification of novel biol. targets and lead structures for drug development using combinatorial libraries and probes)

IT 50-67-9, reactions 51-43-4 62-31-7 110-85-0, Piperazine, reactions 125-84-8 467-15-2 492-46-6 505-66-8, Homopiperazine 530-62-1 581-88-4 614-39-1 1134-47-0 1814-64-8 4199-10-4 5464-78-8 **13575-86-5** 15589-00-1 16015-69-3 16290-26-9 16670-83-0 16898-52-5, 4,4'-Trimethylenedipiperidine 20315-68-8 21416-43-3 27072-45-3D, FITC, reaction products with .alpha.-bungarotoxin, lectins and amine-contg. compds. 29122-68-7 35920-39-9 39959-66-5 57559-31-6 61714-27-0 63732-85-4 64183-73-9 70952-50-0 71501-46-7 89705-21-5 94319-79-6 96865-92-8 104113-71-5 114012-12-3 115017-61-3 116970-50-4 127917-66-2 134647-33-9 152918-26-8 161804-20-2 179418-95-2 183599-10-2, Rink Amide AM 203911-27-7 228111-78-2 228111-84-0 228111-86-2
RL: RCT (Reactant)
(identification of novel biol. targets and lead structures for drug development using combinatorial libraries and probes)

L8 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1999:222909 CAPLUS
DN 130:237373
TI Preparation of 2-aminotetralines for the prevention and treatment of

inflammatory and/or autoimmune pathologies.

IN Fanto, Nicola; Moretti, Gian Piero; Foresta, Piero

PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2

DT Patent

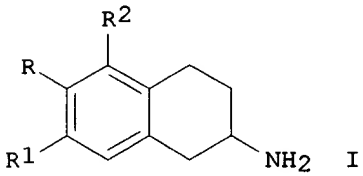
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9915494	A1	19990401	WO 1998-IT252	19980922
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9893662	A1	19990412	AU 1998-93662	19980922
	EP 1017667	A1	20000712	EP 1998-946706	19980922
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9812368	A	20000919	BR 1998-12368	19980922
PRAI	IT 1997-RM568	A	19970922		
	WO 1998-IT252	W	19980922		

OS MARPAT 130:237373

GI



I

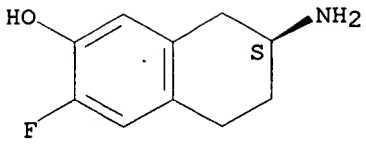
AB Title compds. [I; R, R1 = halo, OH, (substituted) alkoxy, alkanoyl, alkyl, carbamoyl, carbamoyloxy, amino, etc.; R2 = H, halo, OH, MeO; with provisos], and salts thereof, were prepd. Thus, (R)-(+)-2-amino-6-fluoro-7-hydroxytetralin hydrochloride (prepd. in several steps from D-aspartic acid and 2-fluoroanisole) at 18 mg/kg i.v. improved survival in E. coli LPS-treated mice by 44%.

IT **221384-91-4P 221384-92-5P 221384-93-6P 221384-95-8P 221384-96-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

RN 221384-91-4 CAPLUS

CN 2-Naphthalenol, 7-amino-3-fluoro-5,6,7,8-tetrahydro-, hydrochloride, (7S)-
(9CI) (CA INDEX NAME)

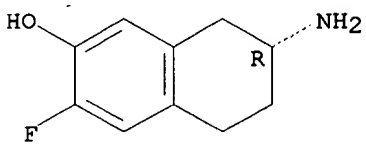
Absolute stereochemistry. Rotation (-).



● HCl

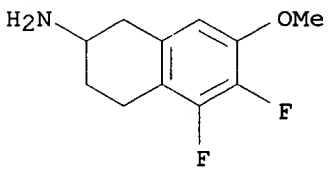
RN 221384-92-5 CAPLUS
CN 2-Naphthalenol, 7-amino-3-fluoro-5,6,7,8-tetrahydro-, hydrochloride, (7R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



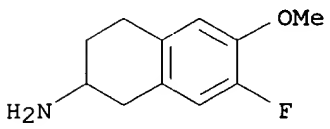
● HCl

RN 221384-93-6 CAPLUS
CN 2-Naphthalenamine, 5,6-difluoro-1,2,3,4-tetrahydro-7-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



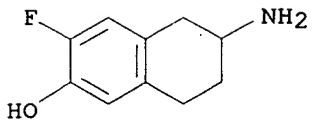
● HCl

RN 221384-95-8 CAPLUS
CN 2-Naphthalenamine, 7-fluoro-1,2,3,4-tetrahydro-6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

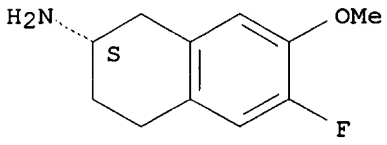
RN 221384-96-9 CAPLUS
CN 2-Naphthalenol, 6-amino-3-fluoro-5,6,7,8-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **211236-07-6P 221385-02-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)
RN 211236-07-6 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

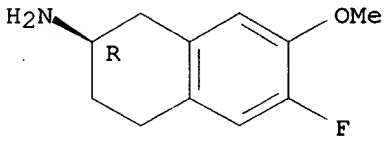
Absolute stereochemistry. Rotation (-).



● HCl

RN 221385-02-0 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, hydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

RE.CNT 15
RE
(1) Horn, A; EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY CHIMICA THERAPEUTICA 1981,
V16(5), P469 CAPLUS
(2) Horn, A; JOURNAL OF MEDICINAL CHEMISTRY 1982, V25(8), P993 CAPLUS
(3) Lilly Co Eli; EP 0109815 A 1984 CAPLUS
(4) Molloy, B; US 3919316 A 1975 CAPLUS
(5) Nordlander, J; A short en antiospecific synthesis of 2-amino-6,7-dihydroxy-
1,2,3,4-tetrahydronaphthalene 1985, 15, P693 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI Preparation of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies.
ST aminotetraline prepn antiinflammatory autoimmune agent; **septic** shock treatment aminotetralin; antiarthritic aminotetraline; pancreatitis

treatment aminotetraline; **inflammatory** bowel disease treatment aminotetraline; **lupus** treatment aminotetraline; **glomerulonephritis** treatment aminotetraline; **encephalomyelitis** treatment aminotetralin

IT Anti-**inflammatory** drugs
Antiarthritics
(prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

IT Tumor necrosis factors
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(prodn. inhibitors; prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

IT **Inflammatory** cytokines
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(treatment of **inflammatory** and/or autoimmune pathologies induced by **inflammatory** cytokines; prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

IT Autoimmune diseases
Encephalomyelitis
Glomerulonephritis
Inflammatory bowel diseases
Pancreatitis
Septic shock
Systemic **lupus** erythematosus
(treatment; prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

IT **221384-91-4P 221384-92-5P 221384-93-6P**
221384-94-7P **221384-95-8P 221384-96-9P** 221384-97-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

IT 56-84-8, L-Aspartic acid, reactions 95-52-3, o-Fluorotoluene 104-87-0,
p-Tolualdehyde 106-65-0 321-28-8, 2-Fluoroanisole 351-54-2, 3-Fluoro-p-anisaldehyde 617-45-8, Aspartic acid 1783-96-6, D-Aspartic acid 6418-38-8, 2,3-Difluorophenol
RL: RCT (Reactant)
(prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

IT 777-33-3P 54730-78-8P 75403-90-6P 79686-91-2P 93139-70-9P
107623-63-2P 134364-69-5P 211173-81-8P 211173-82-9P 211173-83-0P
211173-84-1P **211236-07-6P** 221384-98-1P 221384-99-2P
221385-00-8P 221385-01-9P **221385-02-0P** 221385-03-1P
221385-04-2P 221385-05-3P 221385-06-4P 221385-07-5P 221385-08-6P
221385-09-7P 221385-10-0P 221385-11-1P 221385-12-2P 221385-13-3P
221385-14-4P 221385-15-5P 221385-16-6P 221385-17-7P 221385-18-8P
221385-19-9P 221385-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 2-aminotetralines for the prevention and treatment of **inflammatory** and/or autoimmune pathologies)

L8 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1999:222856 CAPLUS
DN 130:262120
TI Use of 6,7-substituted 2-aminotetralines for preparing pharmaceutical composition for the therapeutic treatment of **inflammatory** and/or autoimmune pathologies
IN Foresta, Piero; Ruggiero, Vito
PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

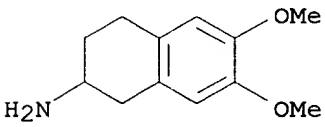
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9915160	A2	19990401	WO 1998-IT250	19980918
	WO 9915160	A3	19990520		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9893661	A1	19990412	AU 1998-93661	19980918
	EP 1017377	A2	20000712	EP 1998-946704	19980918
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9812489	A	20000926	BR 1998-12489	19980918
	US 6242497	B1	20010605	US 2000-533066	20000322
PRAI	IT 1997-RM569	A	19970922		
	WO 1998-IT250	W	19980918		

OS MARPAT 130:262120

AB The use of 6,7-substituted 2-aminotetralines is disclosed for prepg. pharmaceutical compns. for the therapeutic treatment of **inflammatory** and/or autoimmune pathologies induced by **inflammatory** cytokines. 2-Amino-6,7-dimethoxytetraline hydrochloride at 6 mg/kg i.v. significantly reduced the lethality induced in mice by Escherichia coli lipopolysaccharides (LPS) to 47% when it was administered 30 min before and 5 min after the LPS challenge.

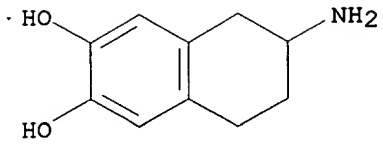
IT **13917-16-3 71074-54-9**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of substituted aminotetralines for prepg. pharmaceutical compn. for therapeutic treatment of **inflammatory** and/or autoimmune pathologies)

RN 13917-16-3 CAPLUS
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6,7-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 71074-54-9 CAPLUS
CN 2,3-Naphthalenediol, 6-amino-5,6,7,8-tetrahydro-, hydrochloride (9CI) (CA
INDEX NAME)



● HCl

TI Use of 6,7-substituted 2-aminotetralines for preparing pharmaceutical composition for the therapeutic treatment of **inflammatory** and/or autoimmune pathologies

AB The use of 6,7-substituted 2-aminotetralines is disclosed for prepg. pharmaceutical compns. for the therapeutic treatment of **inflammatory** and/or autoimmune pathologies induced by **inflammatory** cytokines. 2-Amino-6,7-dimethoxytetraline hydrochloride at 6 mg/kg i.v. significantly reduced the lethality induced in mice by Escherichia coli lipopolysaccharides (LPS) to 47% when it was administered 30 min before and 5 min after the LPS challenge.

ST aminotetraline pharmaceutical **inflammatory** autoimmune pathol

IT Pancreatitis
(inhibitors; use of substituted aminotetralines for prepg. pharmaceutical compn. for therapeutic treatment of **inflammatory** and/or autoimmune pathologies)

IT Anti-**inflammatory** drugs
Antirheumatic drugs
Autoimmune diseases
Encephalomyelitis
Glomerulonephritis
Inflammatory bowel diseases
Septic shock
Systemic **lupus** erythematosus
(use of substituted aminotetralines for prepg. pharmaceutical compn. for therapeutic treatment of **inflammatory** and/or autoimmune pathologies)

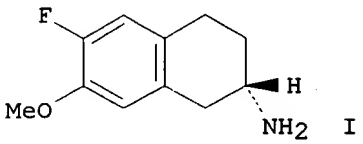
IT **13917-16-3 71074-54-9**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of substituted aminotetralines for prepg. pharmaceutical compn. for therapeutic treatment of **inflammatory** and/or autoimmune pathologies)

L8 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1998:543041 CAPLUS
DN 129:161424
TI Preparation of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock.
IN Moretti, Gian Piero; Foresta, Piero
PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9833762	A1	19980806	WO 1998-IT11	19980128
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 968174	A1	20000105	EP 1998-902173	19980128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6225501	B1	20010501	US 1999-341762	19990716

PRAI IT 1997-RM50 A 19970203
WO 1998-IT11 W 19980128

GI



I

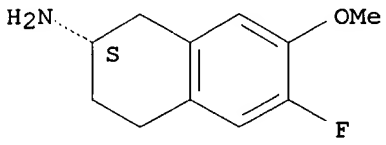
AB S(-)-amino-6-fluoro-7-methoxytetraline (I) and salts thereof were prepd. Thus, L-aspartic acid was refluxed with (F3CCO)2O in CF3CO2H to give 95% N-trifluoroacetylaspartic anhydride. This was stirred with 2-fluoroanisole and AlCl3 to give 78.3% (S)-4-(3-fluoro-4-methoxyphenyl)-4-oxo-2-(N-trifluoroacetyl)aminobutanoic acid. The latter was treated with Et3SiH in refluxing CF3CO2H to give 75% (S)-4-(3-fluoro-4-methoxyphenyl)-2-(N-trifluoroacetyl)aminobutanoic acid. The acid in CH2Cl2 was treated with PCl5 and then with AlCl3 at -20.degree.-reflux to give 60.4% (S)-(N-trifluoroacetyl)amino-6-fluoro-7-methoxy-1-tetralone. Treatment of the latter with Et3SiH in BF3.Et2O at 0.degree.-room temp. gave 78.63% (S)-(N-trifluoroacetyl)amino-6-fluoro-7-methoxytetraline. This was refluxed with K2CO3 in MeOH/H2O to give 52.8% I.HCl (ST 1214). ST 1214 at 6 mg/kg i.v. in mice reduced lethality induced by E. coli or S. typhosa LPS by 37% and 65%, resp.

IT **211173-67-0P**, (S)-2-Amino-6-fluoro-7-methoxytetraline
211173-68-1P 211173-69-2P 211173-70-5P
211173-71-6P 211173-72-7P 211173-73-8P
211173-74-9P 211173-75-0P 211173-76-1P
211173-77-2P 211173-78-3P 211173-79-4P
211173-80-7P 211236-07-6P 211236-08-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock)

RN 211173-67-0 CAPLUS

CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



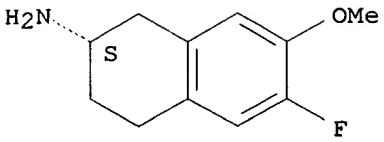
RN 211173-68-1 CAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-2,6-dioxo-, compd. with (2S)-6-fluoro-1,2,3,4-tetrahydro-7-methoxy-2-naphthalenamine (1:1) (9CI) (CA INDEX NAME)

CM 1

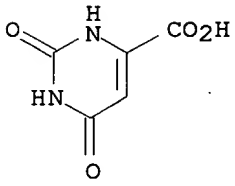
CRN 211173-67-0
CMF C11 H14 F N O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 65-86-1
CMF C5 H4 N2 O4

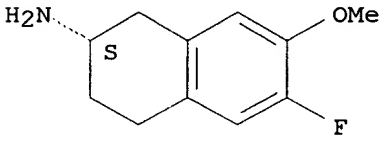


RN 211173-69-2 CAPLUS
CN L-Aspartic acid, compd. with (2S)-6-fluoro-1,2,3,4-tetrahydro-7-methoxy-2-naphthalenamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 211173-67-0
CMF C11 H14 F N O

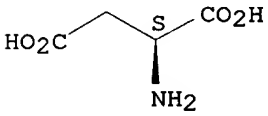
Absolute stereochemistry. Rotation (-).



CM 2

CRN 56-84-8
CMF C4 H7 N O4

Absolute stereochemistry. Rotation (+).

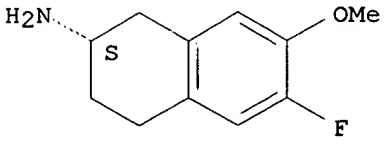


RN 211173-70-5 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

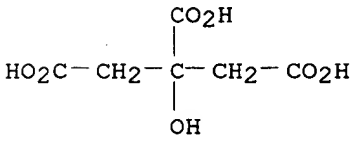
CRN 211173-67-0
CMF C11 H14 F N O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 77-92-9
CMF C6 H8 O7

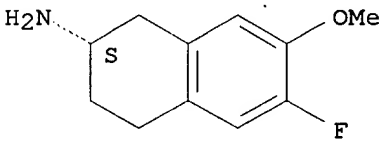


RN 211173-71-6 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

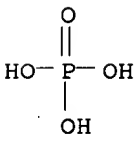
CRN 211173-67-0
CMF C11 H14 F N O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-38-2
CMF H3 O4 P

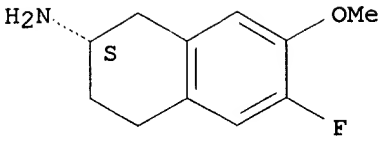


RN 211173-72-7 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 211173-67-0
CMF C11 H14 F N O

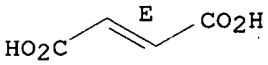
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

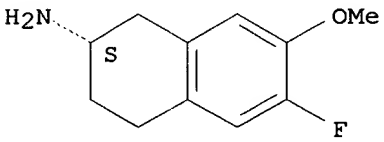


RN 211173-73-8 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 211173-67-0
CMF C11 H14 F N O

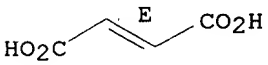
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

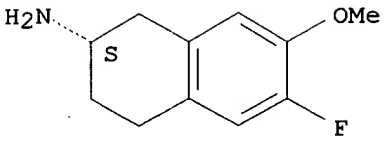


RN 211173-74-9 CAPLUS
CN Propanoic acid, 2-hydroxy-, compd. with (2S)-6-fluoro-1,2,3,4-tetrahydro-7-methoxy-2-naphthalenamine (1:1) (9CI) (CA INDEX NAME)

CM 1

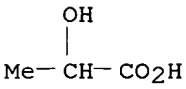
CRN 211173-67-0
CMF C11 H14 F N O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 50-21-5
CMF C3 H6 O3

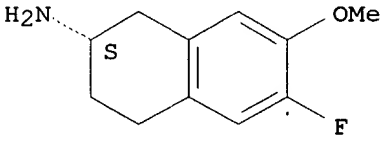


RN 211173-75-0 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 211173-67-0
CMF C11 H14 F N O

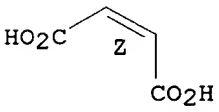
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

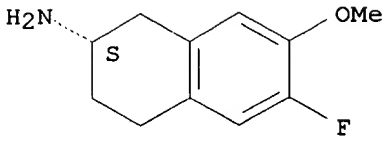


RN 211173-76-1 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, (2Z)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 211173-67-0
CMF C11 H14 F N O

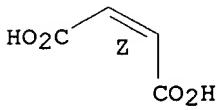
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

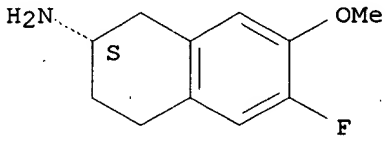


RN 211173-77-2 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

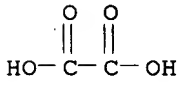
CRN 211173-67-0
CMF C11 H14 F N O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7
CMF C2 H2 O4

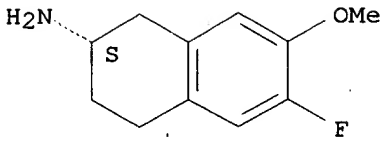


RN 211173-78-3 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

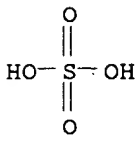
CRN 211173-67-0
CMF C11 H14 F N O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-93-9
CMF H2 O4 S

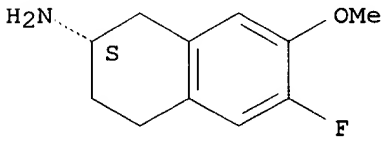


RN 211173-79-4 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 211173-67-0
CMF C11 H14 F N O

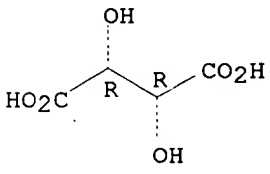
Absolute stereochemistry. Rotation (-).



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

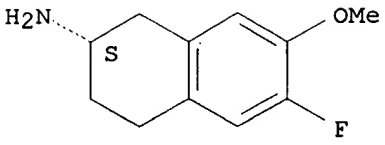


RN 211173-80-7 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 211173-67-0
CMF C11 H14 F N O

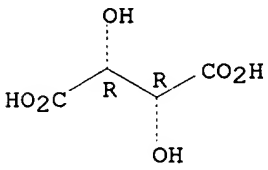
Absolute stereochemistry. Rotation (-).



CM 2

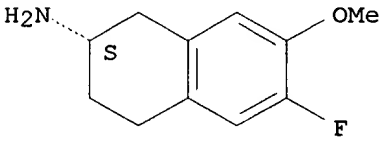
CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.



RN 211236-07-6 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

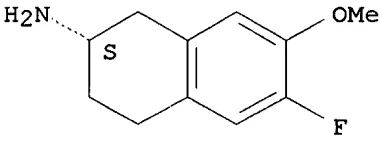
Absolute stereochemistry. Rotation (-).



● HCl

RN 211236-08-7 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, hydrobromide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HBr

TI Preparation of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock.
ST aminofluoromethoxytetraline prepn **septic** shock treatment
IT **Septic** shock
(treatment; prepn. of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock)
IT **211173-67-0P**, (S)-2-Amino-6-fluoro-7-methoxytetraline

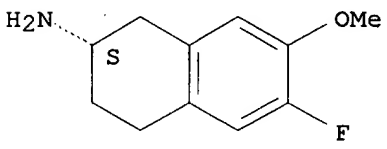
211173-68-1P 211173-69-2P 211173-70-5P
211173-71-6P 211173-72-7P 211173-73-8P
211173-74-9P 211173-75-0P 211173-76-1P
211173-77-2P 211173-78-3P 211173-79-4P
211173-80-7P 211236-07-6P 211236-08-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock)

IT 56-84-8, L-Aspartic acid, reactions 321-28-8, 2-Fluoroanisole
RL: RCT (Reactant)
(prepn. of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock)

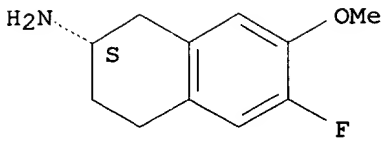
IT 777-33-3P 211173-81-8P 211173-82-9P 211173-83-0P 211173-84-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock)

L8 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1998:510757 CAPLUS
DN 129:270300
TI Protective effects of ST 1214 (a new aminotetraline derivative) in several shock models in mice
AU Ruggiero, V.; Albertoni, C.; Campo, S.; D'Alessio, V.; D'Urso, C. M.; Moretti, G. P.; Foresta, P.; Calvani, M.
CS Lab. of Cellular Immunology, Sigma-Tau S.p.A., Pomezia, Italy
SO Immune Consequences Trauma, Shock Sepsis, Int. Congr., 4th (1997), 873-877. Editor(s): Faist, Eugen. Publisher: Monduzzi Editore, Bologna, Italy.
CODEN: 66MUAY
DT Conference
LA English
AB The newly-synthesized compd. ST 1214, S(-)-2-amino-6-fluoro-7-methoxy-1,2,3,4-tetrahydronaphthalene HCl, administered i.v. at -30' and +5' with respect to the toxic challenge, significantly protected mice in three different shock models. Moreover, ST 1214 induced a significant decrease of seric nitrates + nitrites (NOx), the stable end products of nitric oxide (NO) formation. Lipopolisaccharide-induced Interleukin-10 (IL-10) levels were significantly upregulated following ST 1214 treatment, while circulating Tumor Necrosis Factor (TNF) was dramatically decreased. RT-PCR anal. of TNF.alpha. RNA transcripts showed that the redn. of seric TNF was paralleled by a concomitant down modulation of its mRNA in different organs, thus indicating an effect of ST 1214 at the level of cytokine gene transcription.
IT **211236-07-6**, ST 1214
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(protective effects of ST 1214 aminotetraline deriv. in several shock models in mice)
RN 211236-07-6 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl



HCl

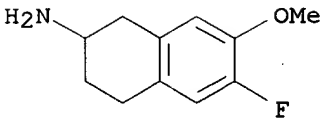
IT **Septic** shock
(protective effects of ST 1214 aminotetraline deriv. in several shock models in mice)
IT **211236-07-6**, ST 1214
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(protective effects of ST 1214 aminotetraline deriv. in several shock models in mice)

L8 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1996:649632 CAPLUS
DN 125:266047
TI Use of 6,7-substituted-2-aminotetralines for preparing pharmaceutical compositions useful for the treatment of **septic** shock, and antipyretic and anti-**inflammatory** pharmaceutical compositions
IN Foresta, Piero; Ruggiero, Vito
PA Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 730861	A1	19960911	EP 1996-102860	19960226
	EP 730861	B1	20000802		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 195072	E	20000815	AT 1996-102860	19960226
	ES 2150034	T3	20001116	ES 1996-102860	19960226
	US 5591777	A	19970107	US 1996-607452	19960227
	CA 2171081	AA	19960910	CA 1996-2171081	19960305
	ZA 9601897	A	19960912	ZA 1996-1897	19960308
	JP 08268884	A2	19961015	JP 1996-53075	19960311
PRAI	IT 1995-RM143	A	19950309		

OS MARPAT 125:266047
AB The use of 6,7-substituted-2-aminotetralines (e.g. 2-amino-6-fluoro-7-methoxytetraline) is disclosed for prepg. pharmaceutical compns. useful for the treatment of **septic** shock and having anti-**inflammatory** and antipyretic activities. Oral administration of 2-amino-6-fluoro-7-methoxytetraline (ST 626) at doses of 10, 20, and 50 mg/kg was able to decrease Brewer's yeast-induced pyrexia, as evaluated by rectal temp. measurements. Moreover, edema, developing as a consequence of the treatment with the phlogistic agent, was kept at lower values following treatment with ST 626.
IT **140914-59-6**, ST 626
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aminotetralines for pharmaceutical compns. useful for treatment of **septic** shock and as antipyretics and **inflammation** inhibitors)
RN 140914-59-6 CAPLUS
CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy- (9CI) (CA INDEX

NAME)



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ST aminotetraline deriv **septic** shock antipyretic antiinflammatory; tetraline deriv **septic** shock antipyretic antiinflammatory

IT Antipyretics
Inflammation inhibitors
(aminotetralines for pharmaceutical compns. useful for treatment of **septic** shock and as antipyretics and **inflammation** inhibitors)

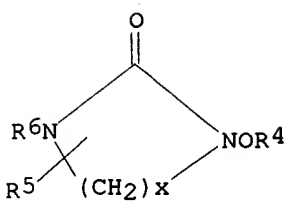
IT Shock
(**septic**, aminotetralines for pharmaceutical compns. useful for treatment of **septic** shock and as antipyretics and **inflammation** inhibitors)

IT 2954-50-9D, derivs. 140914-54-1, ST 608 140914-55-2, ST 563
140914-56-3, ST 570 140914-57-4, ST 557 140914-58-5, ST 564
140914-59-6, ST 626
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aminotetralines for pharmaceutical compns. useful for treatment of **septic** shock and as antipyretics and **inflammation** inhibitors)

L8 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1992:128198 CAPLUS
DN 116:128198
TI Preparation of hydroxyureas as 5-lipoxygenase and cyclooxygenase inhibitors
IN Demers, James P.; Sulsky, Richard B.
PA Ortho Pharmaceutical Corp., USA
SO U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 269,808, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5066658	A	19911119	US 1990-477000	19900207
PRAI	US 1987-21815		19870304		
	US 1988-269808		19881110		

OS MARPAT 116:128198
GI



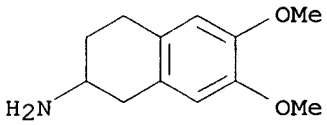
I

AB Hydroxyureas, e.g., I (R4 = H, acyl; R5 = C5-10 alkoxycarbonyl; R6 = C1-10 alkyl; x = 2, 3), useful in treating asthma, allergies, **arthritis**, psoriasis, etc., are prepd. To a stirred soln. of 2.60 g N-decylhydroxylamine and 2.3 mL Et3N in THF at 0.degree. was added dropwise 1.92 mL Et2NCOCl, followed by 1.3 g 4-(dimethylamino)pyridine, and the mixt. was quenched with HCl to give 3.1 g Et2NCON(OH)(CH2)9Me, which in guinea pigs showed 100% inhibition of 5-lipoxygenase at 3 .mu.M, 52% inhibition of induced ear edema at 400 .mu.g topically, and 54% inhibition of arachidonic acid-induced bronchospasm at 15 mg/kg i.v. Also prepd. and tested were 75 addnl. I.

IT **13917-16-3**
RL: RCT (Reactant)
(reaction of, in prepn. of lipoxygenase and cyclooxygenase inhibitor)

RN 13917-16-3 CAPLUS

CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6,7-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

AB Hydroxyureas, e.g., I (R4 = H, acyl; R5 = C5-10 alkoxycarbonyl; R6 = C1-10 alkyl; x = 2, 3), useful in treating asthma, allergies, **arthritis**, psoriasis, etc., are prepd. To a stirred soln. of 2.60 g N-decylhydroxylamine and 2.3 mL Et3N in THF at 0.degree. was added dropwise 1.92 mL Et2NCOCl, followed by 1.3 g 4-(dimethylamino)pyridine, and the mixt. was quenched with HCl to give 3.1 g Et2NCON(OH)(CH2)9Me, which in guinea pigs showed 100% inhibition of 5-lipoxygenase at 3 .mu.M, 52% inhibition of induced ear edema at 400 .mu.g topically, and 54% inhibition of arachidonic acid-induced bronchospasm at 15 mg/kg i.v. Also prepd. and tested were 75 addnl. I.

IT Allergy inhibitors
Bronchodilators
Inflammation inhibitors
(hydroxyurea derivs.)

IT 79-44-7 92-69-3, [1,1'-Biphenyl]-4-ol 102-47-6 108-59-8 111-83-1 112-29-8 112-45-8, 10-Undecenal 1134-52-7 1191-69-1 1943-83-5 2107-70-2 2687-43-6 2969-81-5 3218-36-8, [1,1'-Biphenyl]-4-carboxaldehyde 4229-44-1 5343-54-4 5394-18-3 5728-52-9, [1,1'-Biphenyl]-4-acetic acid 13231-76-0 **13917-16-3** 34619-03-9 36158-95-9 38460-95-6, 10-Undecenoyl chloride 71126-73-3 85689-41-4 139475-37-9 139475-38-0 139501-58-9
RL: RCT (Reactant)
(reaction of, in prepn. of lipoxygenase and cyclooxygenase inhibitor)